=> d his

(FILE 'HOME' ENTERED AT 11:56:01 ON 12 MAY 2004)

FILE 'REGISTRY' ENTERED AT 11:56:13 ON 12 MAY 2004

STRUCTURE UPLOADED L1

L20 S L1

16 S L1 SSS FULL L3

FILE 'CAPLUS' ENTERED AT 11:57:11 ON 12 MAY 2004

L42 S L3

FILE 'REGISTRY' ENTERED AT 12:34:25 ON 12 MAY 2004

STRUCTURE UPLOADED L5

1 S L5 L6

18 S L5 SSS FULL L7

2 S L7 NOT L3 L8

FILE 'CAPLUS' ENTERED AT 12:35:33 ON 12 MAY 2004

L9 2 S L8

0 S L9 NOT L4 L10

=> d l1

L1 HAS NO ANSWERS

STR L1

Structure attributes must be viewed using STN Express query preparation.

=> d 15

L5 HAS NO ANSWERS

L5 STR

Structure attributes must be viewed using STN Express query preparation.

=> d scan 18 YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:Y

- 2 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
- Piperidine, 4-[2-[2-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)butoxy]ethyl]-IN
- 1-[(1-methylethyl)sulfonyl]- (9CI)
- C24 H35 N5 O3 S MF

PAGE 1-A

PAGE 2-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L8
- 2 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN Piperidine, 4-[2-[2-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)butoxy]ethyl]-IN
- 1-(butylsulfonyl)- (9CI) C25 H37 N5 O3 S
- MF

PAGE 2-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> d his

(FILE 'HOME' ENTERED AT 11:56:01 ON 12 MAY 2004)

FILE 'REGISTRY' ENTERED AT 11:56:13 ON 12 MAY 2004

STRUCTURE UPLOADED L1

0 S L1 L216 S L1 SSS FULL L3

FILE 'CAPLUS' ENTERED AT 11:57:11 ON 12 MAY 2004

L42 S L3

=> d 11

L1 HAS NO ANSWERS

L1

Structure attributes must be viewed using STN Express query preparation.

=> d 1-2 bib abs hitstr

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN L4

2003:570648 CAPLUS ΑN

DN 139:133563

Preparation of sulfonamidoalkoxyalkylimidazoquinolines as immune response TΙ modulators.

Crooks, Stephen L.; Griesgraber, George W.; Heppner, Philip D.; Merrill, IN Bryon A.; Roberts, Ralph R.; Wei, Ai-Ping

PΑ 3M Innovative Properties Co., USA

U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S. Ser. No. 12,599. so CODEN: USXXCO

DT Patent

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003139441	A1	20030724	US 2002-165443	20020607
	US 6677347	B2	20040113		
	US 2002193396	A 1	20021219	US 2001-12599	20011201
	US 6683088	B2	20040127		
	US 2004072858	A1	20040415	US 2003-675833	20030930
PRAI	US 2000-254218P	P	20001208		
	US 2001-12599	A2	20011201		
	US 2001-11921	A1	20011206		
os	MARPAT 139:13356	3			
GI					

 $\mbox{Title compds. [I; X = CHR5, CHR5, CHR5, R1 = R4NR3SO2R6A, R4NR3SOR7, } \\$ AB R4NR3SO2NR5R6A, R4NR3SO2NH2; A = alkyl, alkenyl, aryl, heteroaryl, heterocyclyl; R2 = H, (substituted) alkyl, alkenyl, aryl, heteroaryl, heterocyclyl, alkyl-Y-alkyl, alkyl-Y-alkenyl, alkyl-Y-aryl; Y = O, S(0)0-2; R3 = H, alkyl, arylalkyl; R4 = alkyl, alkenyl, which may be interrupted by ≥ 1 O; R3R4 form a ring; R5 = H, alkyl, alkenyl; R6 = bond, alkyl, alkenyl, which may be interrupted by ≥1 0; R7 = alkyl; R3R7 form a ring; n = 0-4; R = alkyl, alkoxy, OH, halo, CF3], were prepared Thus, tert-Bu 2-[2-[(3-aminoquinolin-4-yl)amino]ethoxy]ethylcarbamate (preparation given) in CH2Cl2 was cooled to 0° and treated with Et3N and methoxypropionyl chloride; The reaction was then warmed to room temperature and stirring was continued for 1 h to give tert-Bu 2-[2-[2-(2-methoxyethyl)-1Himidazo[4,5-c]quinolin-1-yl]ethoxy]ethylcarbamate. This was converted to N-[2-[2-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1yl]ethoxy]ethyl]methanesulfonamide in several steps. I showed interferon induction in human cells with lowest effective concns. of 0.0001-1 μM . 437382-50-8P, N-[2-[2-[4-Amino-2-(2-methoxyethyl)-1H-imidazo[4,5-IT c]quinolin-1-yl]ethoxy]ethyl]methanesulfonamide 437382-51-9P, N-[2-[2-[4-Amino-2-(2-methoxyethyl)-6,7,8,9-tetrahydro-1H-imidazo[4,5c]quinolin-1-yl]ethoxy]ethyl]methanesulfonamide 437382-52-0P, N-[2-[2-[4-Amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1yl]ethoxy]ethyl]-N-methylmethanesulfonamide 437382-53-1P, N-[2-[2-[4-Amino-2-(2-methoxyethyl)-6,7,8,9-tetrahydro-1H-imidazo[4,5c]quinolin-1-yl]ethoxy]ethyl]-N-methylmethanesulfonamide 437382-55-3P 437382-56-4P 437382-58-6P 437382-61-1P 437382-75-7P 437382-89-3P 565454-55-9P 565454-56-0P 565454-57-1P 565454-58-2P 565454-59-3P 565454-60-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of sulfonamidoalkoxyalkylimidazoquinolines as immune response modulators) 437382-50-8 CAPLUS RN Methanesulfonamide, N-[2-[2-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-CN c]quinolin-1-yl]ethoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 437382-51-9 CAPLUS
CN Methanesulfonamide, N-[2-[2-[4-amino-6,7,8,9-tetrahydro-2-(2-methoxyethyl)1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ || \\ || \\ Me - S - NH - CH_2 - CH_2 - O - CH_2 - CH_2 \\ || \\ O \\ MeO - CH_2 - CH_2 \\ N - \\ N \\ NH_2 \\ \end{array}$$

RN 437382-52-0 CAPLUS

CN Methanesulfonamide, N-[2-[2-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ Me-S = O \\ Me-N-CH_2-CH_2-O-CH_2-CH_2 \\ MeO-CH_2-CH_2 \\ N \end{array}$$

RN 437382-53-1 CAPLUS

CN Methanesulfonamide, N-[2-[4-amino-6,7,8,9-tetrahydro-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ Me - S = O \\ Me - N - CH_2 - CH_2 - O - CH_2 - CH_2 \\ MeO - CH_2 - CH_2 & \\ N - N \\ NH_2 \\ \end{array}$$

RN 437382-55-3 CAPLUS

CN Ethanesulfonamide, N-[2-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 437382-56-4 CAPLUS

CN 2-Propanesulfonamide, N-[2-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 437382-58-6 CAPLUS

CN 1-Butanesulfonamide, N-[2-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 437382-61-1 CAPLUS

CN Benzenemethanesulfonamide, N-[2-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 437382-75-7 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonamide, N-[2-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethoxy]ethyl]-7,7-dimethyl-2-oxo-, (1S,4R)-(9CI) (CA INDEX NAME)

PAGE 1-A

RN 437382-89-3 CAPLUS

CN 1-Butanesulfonamide, N-[2-[2-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)ethoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 565454-55-9 CAPLUS

CN Methanesulfonamide, N-[2-[2-(4-amino-2-methyl-1H-imidazo[4,5-c]quinolin-1-yl)ethoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 565454-56-0 CAPLUS

CN 2-Propanesulfonamide, N-[2-[2-(4-amino-2-methyl-1H-imidazo[4,5-c]quinolin-1-yl)ethoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 565454-57-1 CAPLUS

CN Methanesulfonamide, N-[2-[2-(4-amino-2-ethyl-1H-imidazo[4,5-c]quinolin-1-yl)ethoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 565454-58-2 CAPLUS

CN Methanesulfonamide, N-[2-[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 565454-59-3 CAPLUS

CN 2-Propanesulfonamide, N-[2-[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 565454-60-6 CAPLUS

CN 2-Propanesulfonamide, N-[2-[2-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:449681 CAPLUS

DN 137:33297

Preparation of sulfonamido ether substituted imidazoquinolines as immune response modifiers

IN Crooks, Stephen L.; Greisgraber, George W.; Heppner, Philip D.; Merrill, Bryon A.; Roberts, Ralph R.; Wei, Ai-Ping

PA 3M Innovative Properties Company, USA

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PCT Int. Appl., 74 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 11
                        KIND DATE
                                               APPLICATION NO.
                                                                  DATE
     PATENT NO.
                               _____
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     WO 2002046190
                         A2
                               20020613
                                               WO 2001-US46582
                                                                  20011206
                              20030717
     WO 2002046190
                        A3
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              FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
              KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
              MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK,
              SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM,
              AZ, BY, KG, KZ
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
              CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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     US 2003065005
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     US 6664260
                         B2
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                                                                  20011206
                               20030910
     EP 1341790
                         A2
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              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
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                                                EE 2003-274
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                         Α
                                                NO 2003-2473
                                                                   20030530
                               20030530
     NO 2003002473
                         Α
                                               US 2003-675833
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                               20040415
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PRAI US 2000-254218P
                         P
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     US 2001-11921
                               20011206
                         A1
     WO 2001-US46582
                         W
                               20011206
os
     MARPAT 137:33297
GI
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Ι

The title compds. [I; X = (CH2)2, (CH2)3, CHEtCH2, etc.; R1 =AB R4NR3SO2R6alkyl, R4NR3SO2R6aryl, etc.; R2 = H, alkyl, alkenyl, etc.; R3 = H, alkyl, aralkyl; R4 = alkylene or alkenylene interrupted by one or more O atoms; or R3R4 can join together to form a ring; R6 = a bond, alkylene or alkenylene which may be interrupted by one or more O atoms; n = 0-4; R = alkyl, alkoxy, OH, etc.] that contain substituted amine functionality at the 1-position, and are useful as immune response modifiers, were prepared E.g., a multi-step synthesis of I [X = (CH2)2; R1 = (CH2)2NMeSO2Me; R2 = (CH2)20Me; n = 0] which showed the lowest concentration of 0.01 μM and 0.12 μM to induce interferon α and $TNF\alpha,$ resp., was given. The compds. I can induce the biosynthesis of various cytokines and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases. 437382-50-8P 437382-51-9P 437382-52-0P 437382-53-1P 437382-55-3P 437382-56-4P 437382-58-6P 437382-61-1P 437382-75-7P 437382-89-3P

437382-89-3F
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamido ether substituted imidazoquinolines as immune response modifiers)

RN 437382-50-8 CAPLUS
CN Methanesulfonamide, N-[2-[2-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} 0 \\ \parallel \\ \text{Me-} \\ \text{S-} \\ \text{NH-} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{N} \\ \text{NH}_2 \\ \end{array}$$

RN 437382-51-9 CAPLUS
CN Methanesulfonamide, N-[2-[2-[4-amino-6,7,8,9-tetrahydro-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me-} \overset{\text{O}}{\underset{\text{N}}{\mid \mid}} \\ \text{Me-} \overset{\text{C}}{\underset{\text{N}}{\mid \mid}} \\ \text{O} \\ \text{MeO-} & \text{CH}_2 - \text{CH}_2 - \text{CH}_2 \\ \text{N} \\ \text{NH}_2 \\ \end{array}$$

RN 437382-52-0 CAPLUS
CN Methanesulfonamide, N-[2-[2-[4-amino-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 437382-53-1 CAPLUS
CN Methanesulfonamide, N-[2-[2-[4-amino-6,7,8,9-tetrahydro-2-(2-methoxyethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]ethyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} - \text{S} = \text{O} \\ \text{Me} - \text{N} - \text{CH}_2 - \text{CH}_2 - \text{O} - \text{CH}_2 - \text{CH}_2 \\ \text{MeO} - \text{CH}_2 - \text{CH}_2 \\ \text{N} - \text{N} \\ \text{NH}_2 \\ \end{array}$$

RN 437382-55-3 CAPLUS
CN Ethanesulfonamide, N-[2-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 437382-56-4 CAPLUS

CN 2-Propanesulfonamide, N-[2-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 437382-58-6 CAPLUS

CN 1-Butanesulfonamide, N-[2-[2-(4-amino-2-butyl-lH-imidazo[4,5-c]quinolin-1-yl)ethoxy]ethyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ | \\ | \\ | \\ O \\ \\ N \\ N \\ N \\ N \\ N \\ N \\ N \\ N \\ N \\ N \\ N \\ \\ N \\$$

RN 437382-61-1 CAPLUS

CN Benzenemethanesulfonamide, N-[2-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 437382-75-7 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonamide, N-[2-[2-(4-amino-2-butyl-1H-imidazo[4,5-c]quinolin-1-yl)ethoxy]ethyl]-7,7-dimethyl-2-oxo-, (1S,4R)-(9CI) (CA INDEX NAME)

RN

=>

437382-89-3 CAPLUS
1-Butanesulfonamide, N-[2-[2-(4-amino-lH-imidazo[4,5-c]quinolin-1-yl)ethoxy]ethyl]- (9CI) (CA INDEX NAME) CN